

Claims

1. A method of producing a colloidal preparation comprising cationic colloidal nanoparticles and an active agent comprising the steps of
- 5 a) providing an active agent,
b) providing empty cationic nanoparticles comprising a cationic component and
c) incubating said active agent of step a) with the empty cationic colloidal nanoparticles of step b) in an aqueous medium for a period
- 10 of time sufficient to cause loading of said agent into said cationic nanoparticles,
wherein step c) is performed without further steps as a self-assembly process.
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2. The method of claim 1, wherein said active agent is water soluble and/or comprises an anionic moiety and a moiety which can interact by amphiphilic interactions and wherein said active agent has a high partition coefficient into said nanoparticles in an aqueous solution.
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3. The method of claims 1 or 2, wherein said active agent is present in an amount of about 0.1 mol% to less than about 100 mol%, preferably from about 1 mol% to about 50 mol%, more preferably from about 3 mol% to about 30 mol% and most preferably from about 5 mol% to about 10 mol% with respect to the amount of said cationic component of said cationic nanoparticles of step b).
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4. The method of any one of claims 1 to 3, wherein said active agent is selected from a camptothecin drug in the carboxylate form.
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5. The method of claim 4, wherein said camptothecin drug is selected from camptothecin, 10-OH-CPT or SN38.

6. The method of claims 4 or 5, wherein the lactone form of a camptothecin drug is present in said preparation in an amount of below about 10%, preferably of below about 8%, more preferably of below about 6% and more preferably of below about 4% with respect to the total amount of the carboxylate drug.
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7. The method of any one of the claims 4 to 6, wherein said camptothecin drug can be present as an aqueous solution or a solid product.
- 10 8. The method of any one of claims 1 to 7, wherein said cationic nanoparticles of step b) are selected from micelles, liposomes and nanocapsules.
- 15 9. The method of any one of the claims 1 to 8, wherein said empty cationic nanoparticles of step b) can be present as an aqueous dispersion or a solid product.
- 20 10. The method of any one of claims 1 to 9, wherein said cationic nanoparticles of step b) comprise as cationic component cationic amphiphiles or polymers, particularly cationic polyelectrolytes.
11. The method of any one of the claims 1 to 10, wherein said cationic nanoparticles of step b) comprise as cationic component cationic lipids, particularly cationic lipids selected from DOTAP or DMTAP.
12. The method of any one of the claims 1 to 11, wherein said incubation time of step c) is between about 10 min and about 6 hours, preferably between about 30 min and about 2 hours.
- 30 13. The method of any one of the claims 1 to 12, wherein said incubation temperature of step c) is between about 4°C and about 25°C, preferably about 25°C.

14. The method of any one of claims 1 to 13, wherein said preparation is obtained after c) and which is suitable for immediately, e. g. directly administering it to a subject in need thereof.
- 5 15. The method of any one of the claims 1 to 14, wherein said colloidal preparation has a pH in the range of about 6 to about 8.
- 10 16. Use of a colloidal preparation produced by a method of any one of claims 1 to 15 for the manufacture of a medicament for an angiogenesis-associated disease.
- 15 17. A pharmaceutical composition comprising a colloidal preparation produced by a method of any one of claims 1 to 15, optionally together with a pharmaceutically acceptable carrier, diluent and/or adjuvant.
- 20 18. Kit comprising a) an active agent, b) empty cationic nanoparticles and optionally c) an aqueous medium, wherein said active agent is water soluble and/or comprises an anionic moiety and a moiety which can interact by amphiphilic interactions and wherein said active agent has a high partition coefficient into said nanoparticles in an aqueous solution, wherein the components a), b) and optionally c) are in separate containers.
- 25 19. The kit of claim 18, wherein said active agent is a camptothecin drug in the carboxylate form.
20. The kit of claims 18 or 19 for the manufacture of a pharmaceutical composition.
- 30 21. The kit of anyone of claims 18 to 20 for the manufacture of a medicament for an angiogenesis associated disease such as cancer.